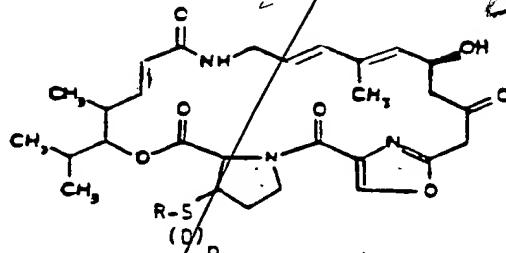


WE CLAIM:

1. A pristinamycin II<sub>B</sub> of the formula:



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in which R denotes

- either a nitrogen-containing 4 to 7-membered heterocyclic ring radical which may contain 1 or more other hetero atoms chosen from nitrogen, oxygen and sulphur in the form of

10 sulphoxide or sulphone, and unsubstituted or substituted by alkyl,

- or alkyl of 2 to 4 carbon atoms substituted by 1 or 2 radicals chosen from phenyl, cycloalkylamino of 3 to 6 ring atoms, N-alkyl-N-cycloalkylamino of 3 to 6 ring atoms,

15 alkylamino, dialkylamino, and dialkylcarbamoyloxy, the alkyl moieties of the said dialkylamino and dialkylcarbamoyloxy radicals being unjoined or joined to form, with the nitrogen atom to which they are attached, a saturated or unsaturated 4 to 7-membered heterocyclic ring which may contain another

20 hetero atom chosen from nitrogen, oxygen and sulphur in the form of sulphoxide or sulphone, and unsubstituted or substituted by alkyl, or alkyl of 2 to 4 carbon atoms sub-

25 stituted by one or more nitrogen-containing 4 to 7-membered heterocyclic rings which may contain 1 or 2 other hetero atoms chosen from nitrogen, oxygen and sulphur in

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the form of sulphoxide or sulphone, and unsubstituted or substituted by alkyl, these heterocyclic rings being linked to the alkyl by a carbon atom of the ring, at least one of the substituents carried by the said alkyl being a nitrogen-containing substituent capable of forming salts,  
5 n is 1 or 2 and, unless stated otherwise, the abovementioned alkyl radicals are linear or branched and contain 1 to 10 carbon atoms each, in its isomeric forms or their mixtures, and its acid addition salts.

2. A pristinamycin II<sub>B</sub> according to claim 1 wherein R  
10 denotes:

- either a nitrogen-containing 5 or 6-membered heterocyclic ring radical which is unsubstituted or substituted by alkyl,  
- or alkyl of 2 to 4 carbon atoms substituted by 1 or 2  
15 radicals chosen from phenyl, cycloalkylamino of 3 to 6 ring atoms, N-alkyl-N-cycloalkylamino of 3 to 6 ring atoms, alkylamino, dialkylamino and dialkylcarbamoyloxy the alkyl moieties of the said dialkylamino and dialkylcarbamoyloxy radicals being unjoined or joined to form, with the nitrogen atom  
20 to which they are attached, a saturated or unsaturated 5 or 6-membered heterocyclic ring which may contain another hetero atom chosen from nitrogen, oxygen and sulphur in the form of sulphoxide or sulphone, and unsubstituted or substituted by alkyl, or alkyl of 2 to 4 carbon atoms  
25 substituted by a nitrogen-containing 5 or 6-membered heterocyclic ring which may contain another hetero atom chosen from nitrogen, oxygen and sulphur in the form of sulphoxide or sulphone and unsubstituted or substituted by

alkyl, this heterocyclic ring being linked to the alkyl by a carbon atom of the ring, at least one of the substituents carried by the said alkyl chain being a nitrogen-containing substituent capable of forming salts, n is 1 or 2 and, unless stated otherwise, the abovementioned alkyl 5 radicals are linear or branched and contain 1 to 10 carbon atoms each, in its isomeric forms or their mixtures, and its acid addition salts.

3. A pristinamycin II<sub>B</sub> according to claim 1, wherein R denotes alkyl of 2 to 4 carbon-atoms substituted by 1 10 or 2 radicals chosen from phenyl, cycloalkylamino of 5 or 6 ring atoms, N-alkyl-N-cycloalkylamino of 5 or 6 ring atoms, alkylamino of 1 to 4 carbon atoms, or dialkylamino in which each alkyl is of 1 to 3 carbon atoms or the alkyls form, with the nitrogen atom to which they are attached, a saturated 15 5 or 6-membered heterocyclic ring, or R denotes a nitrogen-containing 5 or 6-membered heterocyclic ring unsubstituted or substituted by alkyl of 1 to 4 carbon atoms, at least one of the substituents carried by the said alkyl being a nitrogen-containing substituent capable 20 of forming salts and at least one of the radicals carried by this chain is in a 1- or a 2- position, in its isomeric forms and their mixtures, and its acid addition salts.

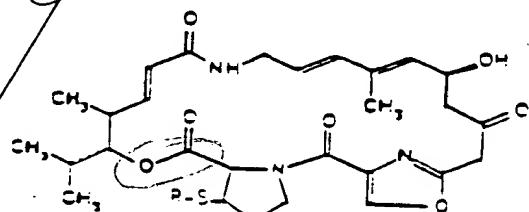
4. A pristinamycin II<sub>B</sub> according to claim 1 which is 26-(2-diethylamino-1-methylethyl)sulphinylpristinamycin 25 II<sub>B</sub>, its isomeric forms and their mixtures, and its acid addition salts.

5. A pristinamycin II<sub>B</sub> according to claim 1 which is  
26-[(2R)2-dimethylaminobutyl] sulphonylpirstinamycin II<sub>B</sub>,  
its isomeric forms and their mixtures, and its acid  
addition salts.

5 6. A pristinamycin II<sub>B</sub> according to claim 1 which is  
26-(2-diethylaminopropyl)sulphonylpirstinamycin II<sub>B</sub>, its  
isomeric forms and their mixtures, and its acid addition  
salts.

7. A pristinamycin II<sub>B</sub> according to claim 1 which is  
10 26-(2-diisopropylaminoethyl)sulphonylpirstinamycin II<sub>B</sub>,  
its isomeric forms and their mixtures, and its acid  
addition salts.

8. A process for the preparation of a pristinamycin  
II<sub>B</sub> according to claim 1, which comprises oxidizing a  
15 pristinamycin II<sub>B</sub>, or a salt or protected derivative  
thereof, of the formula:

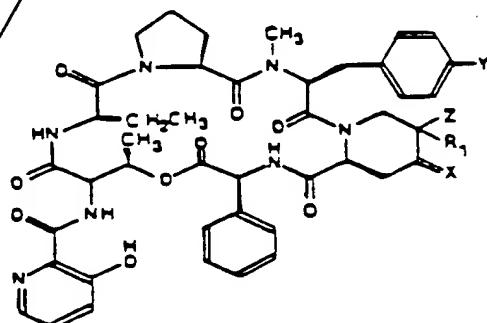


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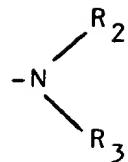
in which R is defined as in claim 1, and where R contains  
a sulphur-containing heterocyclic ring, the sulphur atom  
can be in the form of sulphide, sulphoxide or sulphone,  
separating the product obtained, if appropriate, into its  
25 isomers, removing the protective radical when present  
and optionally converting the product obtained into an  
acid addition salt.

9. A process according to claim 8, wherein a product in which  $n = 1$  is required and the oxidizing agent used is a percarboxylic or persulphonic acid or an inorganic peracid.
- 5 10. A process according to claim 8, wherein a product in which  $n = 2$  is required and the oxidizing agent used is selenium dioxide in the presence of hydrogen peroxide or a peracid.
11. A process for the preparation of a pristinamycin 10 II<sub>B</sub> according to claim 1 in which  $n = 2$ , which comprises oxidizing a pristinamycin II<sub>B</sub> according to claim 1 in which  $n = 1$ , and separating the product obtained, if appropriate, into its isomers and optionally converting the product obtained into an acid addition salt.
- 15 12. A pharmaceutical composition which contains a pristinamycin II<sub>B</sub> according to claim 1 in combination with a known synergistin or a soluble synergistin of formula:

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in which Y denotes a hydrogen atom or a dimethylamino radical and  
25 1) either --- denotes a single bond, Z and R<sub>1</sub> denote a hydrogen atom and X denotes a radical of formula:



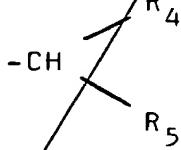
in which:

- 5 - either  $R_2$  denotes a hydrogen atom and  $R_3$  denotes a hydroxy or alkyl radical unsubstituted or substituted by a carboxy, alkyloxycarbonyl, hydroxy, alkylamino or dialkyl amino radical whose alkyl radicals can form, with the nitrogen atom to which they are attached, a 4 to 7-membered heterocyclic ring chosen from azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, N-alkylpiperazinyl and azepinyl, or  $R_3$  denotes a cycloalkyl radical containing 3 to 7 carbon atoms or a saturated 4 to 7-membered heterocyclic ring chosen from the azetidine, pyrrolidine, 15 piperidine and azepine rings, these heterocyclic rings being unsubstituted or substituted by an alkyl radical on the nitrogen atom,
- or  $R_2$  denotes a formyl or alkylcarbonyl radical and  $R_3$  denotes an alkyl radical substituted by a carboxy, alkylamino or dialkylamino radical whose alkyl radicals can form, with the nitrogen atom to which they are attached a 4 to 7-membered heterocyclic ring chosen from azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, N-alkylpiperazinyl and azepinyl, or  $R_3$  denotes a 4 to 7-membered heterocyclic ring chosen from azetidine, pyrrolidine, piperidine and azepine, these heterocyclic rings being unsubstituted or substituted by an alkyl radical on the nitrogen atom,

- or  $R_2$  and  $R_3$ , which are identical or different, each denote an alkyl radical which is unsubstituted or substituted by carboxy, alkyloxycarbonyl, hydroxy, alkylamino or dialkylamino whose alkyl radicals optionally form, with the 5 nitrogen atom to which they are attached, a 4 to 7-membered heterocyclic ring chosen from azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, N-alkylpiperazinyl and azepinyl  
- or  $R_2$  and  $R_3$  form, together with the nitrogen atom to which they are attached, a 4 to 7-membered heterocyclic 10 ring chosen from the azetidine, pyrrolidine, piperidine, morpholine and piperazine rings, optionally substituted by an alkyl radical,

2) or  $\text{---}$  denotes a double bond, X denotes an oxygen atom and Z denotes a radical of formula:

15



in which:

a) either  $R_1$  and  $R_5$  each denote a hydrogen atom and  $R_4$  20 denotes a 3-pyrrolidinylthio or 3- or 4-piperidylthio radical (these radicals being optionally substituted by an alkyl radical) or  $R_4$  denotes an alkylthio radical substituted by one or two hydroxysulphonyl, alkylamino or dialkylamino (optionally substituted by a mercapto or di- 25 alkylamino radical) radicals or by one or two rings chosen from piperazino (optionally substituted by an alkyl or

mercaptoalkyl radical), morpholino, thiomorpholino, piperidino, 1-pyrrolidinyl, 2, 3 or 4-piperidyl and 2- or 3-pyrrolidinyl (these last two rings being optionally substituted by an alkyl radical on the nitrogen atom),  
5 b) or R<sub>1</sub> and R<sub>5</sub> together form a valency bond and R<sub>4</sub> denotes a 3-pyrrolidinylamino, 3- or 4-piperidylamino, 3-pyrrolidinyloxy, 3- or 4-piperidyloxy, 3-pyrrolidinylthio, 3- or 4-piperidylthio radical (these radicals being optionally substituted by an alkyl radical on the nitrogen  
10 atom in the ring), or R<sub>4</sub> denotes an alkylamino, alkyloxy or alkylthio radical substituted by one or two hydroxy-sulphonyl, alkylamino, dialkylamino (optionally substituted by a dialkylamino radical), trialkylammonio or 4- or 5-imidazolyl radicals, or by one or two rings chosen from  
15 piperazino (optionally substituted by an alkyl or mercapto-alkyl radical), morpholino, thiomorpholino, piperidino, 1-pyrrolidinyl, 2, 3 or 4-piperidyl and 2- or 3-pyrrolidinyl (these two latter rings being optionally substituted by an alkyl radical on the nitrogen atom), it being understood that the alkyl radicals and alkyl moieties referred  
20 to in the symbols defined above contain 1 to 5 carbon atoms and form a linear or branched chain, if appropriate in the form of one of its isomers or their mixtures, and optionally in the form of an acid addition salt, a metal  
25 salt or an addition salt with a nitrogen-containing organic base.

*d 7 8 /*  
13. A pharmaceutical composition according to claim ~~12~~<sup>11</sup>  
which also contains a compatible pharmaceutically acceptable  
carrier and /or adjuvant.

*a* 14. A pharmaceutical composition comprising an effective  
amount of a pristinamycin II<sub>B</sub> according to claim ~~11~~<sup>10</sup>  
association with a compatible pharmaceutically acceptable  
carrier and/or adjuvant.

*a* 15. Method of controlling bacterial growth which  
comprises exposing said bacteria to the effect of a  
pristinamycin II<sub>B</sub> according to claim ~~11~~<sup>10</sup> in sufficient  
concentration to control said bacteria.

*BNP* →

*C. H. G.*

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